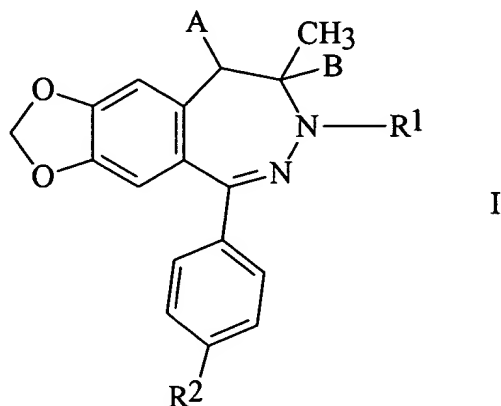


1. (Thrice Amended) A 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$ , wherein

R represents a halo atom, a pyridyl group or a group of the formula  $-NR^3R^4$ , wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a C<sub>3-6</sub> cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two C<sub>1-4</sub> alkyl group(s), a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen

atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or

R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub> alkyl group which latter is optionally

substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy

group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group,
- 2) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R<sup>3</sup> and R<sup>4</sup> represents a hydrogen atom, and the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom, a phenyl group or a C<sub>1-4</sub> alkyl group, and
- 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a hydrogen atom

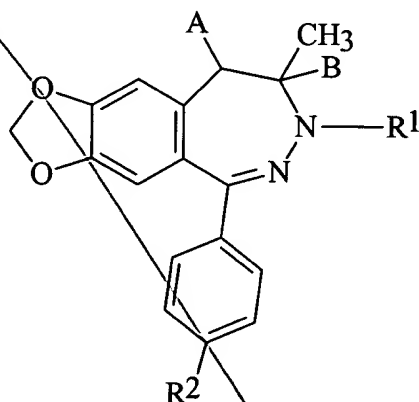
or a C<sub>1-14</sub> alkyl group, then the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,

5) R is other than a chlorine atom; and with the further proviso that

6) R<sup>3</sup> and R<sup>4</sup> cannot form with the adjacent nitrogen atom a pyrrolidine group,

and pharmaceutically suitable acid addition salts thereof.

9. (Twice Amended) A pharmaceutical composition comprising a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I



I

wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

~~-(CH<sub>2</sub>)<sub>n</sub>-CO-(CH<sub>2</sub>)<sub>m</sub>-R, wherein~~

~~R represents a halo atom, a pyridyl group or a group of the formula -NR<sup>3</sup>R<sup>4</sup>, wherein~~

~~R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a C<sub>3-6</sub> cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two C<sub>1-4</sub> alkyl group(s), a C<sub>1-4</sub> alkyl group which is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which is optionally substituted by 1 to 3 substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group,~~

~~n has a value of 0, 1 or 2,~~

~~m has a value of 0, 1 or 2, or~~

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group which is optionally substituted, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as

the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group,
- 2) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group, p

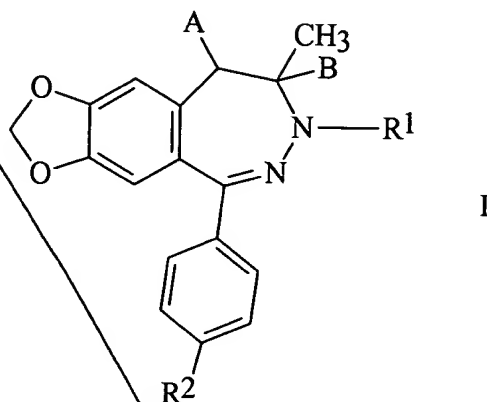
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has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,

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- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of  $R^3$  and  $R^4$  represents a hydrogen atom, and the other of  $R^3$  and  $R^4$  is different from a hydrogen atom, a phenyl group or a  $C_{1-4}$  alkyl group,
  - 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of  $R^3$  and  $R^4$  stands for a hydrogen atom or a  $C_{1-4}$  alkyl group, then the other of  $R^3$  and  $R^4$  is different from a hydrogen atom or a  $C_{1-14}$  alkyl group, and
  - 5)  $R^3$  and  $R^4$  cannot form with the adjacent nitrogen atom a pyrrolidine group,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient and one or more conventional carrier(s).

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16. (Thrice Amended) A method of treatment in which a patient suffering from epilepsy or being in a state after stroke is treated

with a non-toxic dose of a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$ , wherein

R represents a halo atom, a pyridyl group or a group of the formula  $-NR^3R^4$ , wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a C<sub>3-6</sub> cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two C<sub>1-4</sub> alkyl group(s), a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen

atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub> alkyl group which latter is optionally

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substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy

group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group,
- 2) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R<sup>3</sup> and R<sup>4</sup> represents a hydrogen atom, and the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom, a phenyl group or a C<sub>1-14</sub> alkyl group,
- 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1

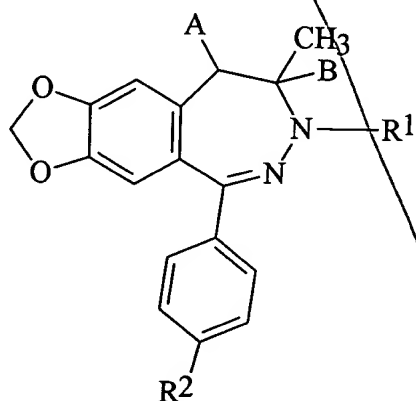
or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a hydrogen atom or a C<sub>1-14</sub> alkyl group, then the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,

5) R<sup>3</sup> and R<sup>4</sup> cannot form with the adjacent nitrogen atom a pyrrolidine group, and

6) R is other than a chlorine atom;

or a pharmaceutically suitable acid addition salt thereof.

17. (Thrice Amended) A process for preparing a pharmaceutical composition suitable for the treatment of epilepsy or a state after stroke, characterized in that a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,



I

wherein

A represents a hydrogen atom,

B means a hydrogen atom,

$R^1$  stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$ , wherein

$R$  represents a halo atom, a pyridyl group or a group of the formula  $-NR^3R^4$ , wherein

$R^3$  and  $R^4$  mean, independently, a hydrogen atom, a  $C_{3-6}$  cycloalkyl group, a  $C_{1-4}$  alkoxy group, an amino group, a phenyl group optionally substituted by one or two  $C_{1-4}$  alkyl group(s), a  $C_{1-4}$  alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent is a  $C_{1-4}$  alkoxy group, or

$R^3$  and  $R^4$  form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a  $C_{1-4}$  alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or

more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group,

- 2) if A forms together with B a valence bond,  $R^2$  stands for a nitro group or an amino group,  $p$  has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,
- 3) if each of A and B stands for a hydrogen atom,  $n$  and  $m$  have a value of 0, then one of  $R^3$  and  $R^4$  represents a hydrogen atom, and the other of  $R^3$  and  $R^4$  is different from a hydrogen atom, a phenyl group or a  $C_{1-14}$  alkyl group,
- 4) if each of A and B stands for a hydrogen atom,  $n$  has a value of 0,  $m$  has a value of 1 or 2, and one of  $R^3$  and  $R^4$  stands for a hydrogen atom or a  $C_{1-4}$  alkyl group, then the other of  $R^3$  and  $R^4$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,
- 5) R is other than a chlorine atom; and with the further proviso that
- 6)  $R^3$  and  $R^4$  cannot form with the adjacent nitrogen atom a pyrrolidine group,
- or a pharmaceutically suitable acid addition salt thereof, together with one or more conventional carrier(s), is converted to a pharmaceutical composition.